## 1 1. (Original) Compounds having the structure of Formula I:

- 7 esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, or metabolites,

and their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,

Formula I

8 wherein

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- 9 Ar represents an aryl or a heteroaryl ring having 1-2 hetero atoms selected from the group
- 10 consisting of oxygen, sulphur and nitrogen atoms, the aryl or heteroaryl rings may be
- unsubstituted or substituted by one to three substituents independently selected from lower
- alkyl (C<sub>1</sub>-C<sub>4</sub>), lower perhaloalkyl (C<sub>1</sub>-C<sub>4</sub>), cyano, hydroxy, nitro, halogen (e.g. F, Cl, Br,
- 13 I), lower alkoxy  $(C_1-C_4)$ , lower perhalo- alkoxy  $(C_1-C_4)$ , unsubstituted amino, N-lower
- 14 alkylamino  $(C_1-C_4)$  or N-lower alkylamino carbonyl  $(C_1-C_4)$ ;
- 15 R<sub>1</sub> represents a hydrogen, hydroxy, hydroxymethyl, amino, alkoxy, carbamoyl or halogen
- 16 (e.g. fluorine, chlorine, bromine and iodine);
- 17 R<sub>2</sub> represents alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl ring in which any 1-4 hydrogen atoms are
- substituted with halogen (e.g. F, Cl, Br, I), carbamoyl or lower alkyl;
- 19 W represents  $(CH_2)_p$ , where p represents 0 to 1;
- 20 X represents an oxygen, sulphur, NR or no atom wherein R represents
- 21 hydrogen or  $C_1$ - $C_6$  alkyl;
- 22 Y represents CHR<sub>5</sub>CO wherein R<sub>5</sub> represents hydrogen, methyl or (CH<sub>2</sub>)q
- wherein q represents 0 to 4;
- R<sub>3</sub> represents hydrogen, lower alkyl or CO<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>;

- 25 R<sub>6</sub> and R<sub>7</sub> are independently selected from H, lower alkyl, COOH, CONH<sub>2</sub>, NH<sub>2</sub>,
- 26 CH<sub>2</sub>NH<sub>2</sub>; and
- 27 R<sub>4</sub> represents C<sub>1</sub>-C<sub>15</sub> saturated or unsaturated aliphatic hydrocarbon (straight chain or
- branched) in which any 1 to 6 hydrogen atoms may be substituted with the group
- 29 independently selected from halogen, arylalkyl, arylalkenyl, heteroarylalkyl or
- 30 heteroarylalkenyl having 1 to 2 hetero atoms selected from the group consisting of
- 31 nitrogen, oxygen and sulphur atoms with an option that any 1 to 3 hydrogen atoms on an
- 32 aryl or heteroaryl ring in said arylalkyl, arylalkenyl, heteroarylalkenyl group may be
- 33 substituted with lower alkyl (C<sub>1</sub>-C<sub>4</sub>), lower perhalo alkyl (C<sub>1</sub>-C<sub>4</sub>), cyano, hydroxy, nitro,
- lower alkoxycarbonyl, halogen, lower alkoxy  $(C_1-C_4)$ , lower perhaloalkoxy  $(C_1-C_4)$ ,
- unsubstituted amino, N-lower alkylamino (C<sub>1</sub>-C<sub>4</sub>), or N-lower alkylamino carbonyl (C<sub>1</sub>-
- 36 C<sub>4</sub>).
- 1 2. (Original) A compound according to claim 1 having the structure of Formula
- 2 II and its pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters,
- 3 enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, metabolites, wherein
- 4 Ar, R<sub>1</sub>, R<sub>2</sub>, W, X, Y, R<sub>3</sub> and R<sub>4</sub> are as defined for formula I.

8 Formula II

- 1 3. (Original) A compound according to claim 1 having the structure of Formula
- 2 III and its pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters,
- 3 enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, metabolites, wherein Ar, R<sub>1</sub>,
- 4  $R_2$ ,  $R_3$  and  $R_4$  are as defined for Formula I.

- 1 4. (Original) A compound according to claim 1 having the structure of Formula
- 2 IV and its pharmaceutically acceptable salts, esters, enantiomers, diastereomers, N-oxides,
- 3 prodrugs, or metabolites wherein R<sub>3</sub> and R<sub>4</sub> are as defined for Formula I, and s represents
- 4 1 to 2,  $R_9$  is H or F and  $R_{10}$  is F.

- 1 5. (Original) A compound selected from the group consisting of
- 2 (2S)- $(1\infty, 5\infty, 6\infty)$ -6-N-[3-benzyl-3- azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S)-3-
- 3 oxocyclohexyl]-2-hydroxy-2-phenylacetamide
- 4 (2S)- $(1\infty, 5\infty, 6\infty)$ -6-N-[3-benzyl-3-azabicyclo[3.1.0]-hexyl]-2[(1R or 1S, 3R or
- 5 3S)-3-(fluorocyclohexyl ]-2-hydroxy-2-phenylacetamide
- 6 (2S)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-benzyl-3-azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S, 3R or
- 7 3S)-3-fluorocyclopentyl]-2-hydroxy-2-phenylacetamide
- 8 (2S)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-benzyl-3-azabicyclo[3.1.0]-hexyl]-2-2[(1R or 1S)-3, 3-
- 9 difluorocyclohexyl]-2-hydroxy-2-phenylacetamide
- 10 (2S)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-benzyl-3-azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S)-3,3-
- 11 difluorocyclopentyl]-2-hydroxy-2-phenylacetamide
- 12  $(2R)-(1\alpha, 5\alpha, 6\alpha)-6-N-[3-benzyl-3-azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S)-3,3-$
- difluorocyclopentyl]-2-hydroxy-2-phenylacetamide
- 14 (2S)-  $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-(2-(3,4-methylenedioxyphenyl)ethyl]-3-
- azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S)-3, 3-difluorocyclohexyl]-2-hydroxy-2-
- 16 phenylacetamide

- 17 (2S)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-(2-(3, 4-methylenedioxyphenyl)ethyl]-3-
- azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S)-3, 3-difluorocyclopentyl]-2-hydroxy-2-
- 19 phenylacetamide
- 20 (2R)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-(2-(3,4-methylenedioxyphenyl)ethyl]-3-
- 21 azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S)-3, 3-difluorocyclopentyl]-2-hydroxy-2-
- 22 phenylacetamide
- 23 (2S)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-(2-(3, 4-methylenedioxyphenyl)ethyl]-3-
- 24 azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S, 3R or 3S)-3-fluorocyclohexyl]-2-hydroxy-
- 25 2-phenylacetamide
- 26 (2S)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-(2-(3, 4-methylenedioxyphenyl)ethyl]-3-
- 27 azabicyclo[3.1.0]-hexyl]-2-[(1R or 1S, 3R or 3S)-3-fluorocyclopentyl]-2-hydroxy-
- 28 2-phenylacetamide
- 29 (2S)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]-hexyl]-2-[(1R
- or 1S)-3, 3-difluorocyclohexyl]-2-hydroxy-2-phenylacetamide
- 31 (2S)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]-hexyl]-2-[(1R
- or 1S)-3, 3-difluorocyclopentyl]-2-hydroxy-2-phenylacetamide
- 33  $(2R)-(1\alpha, 5\alpha, 6\alpha)-6-N-[3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]-hexyl]-2-$
- 34 [(1R or 1S)-3, 3-difluorocyclopentyl]-2-hydroxy-2-phenylacetamide
- 35 (2S)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]-hexyl]-2-[(1R
- or 1S, 3R or 3S)-3-fluorocyclohexyl]-2-hydroxy-2-phenylacetamide
- 37 (2S)- $(1\alpha, 5\alpha, 6\alpha)$ -6-N-[3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]-hexyl]-2-[(1R
- or 1S, 3R or 3S)-3-fluorocyclopentyl]-2-hydroxy-2-phenylacetamide.
- 1 6. (Original) A pharmaceutical composition comprising a therapeutically
- 2 effective amount of a compound as defined in any of claims 1-5 together with
- 3 pharmaceutically acceptable carriers, excipients or diluents.

- 1 7. (Original) A method for treatment or prophylaxis of an animal or a human
- 2 suffering from a disease or disorder of the respiratory, urinary and gastrointestinal
- 3 systems, wherein the disease or disorder is mediated through muscarinic receptors,
- 4 comprising administering to said animal or human, a therapeutically effective amount of a
- 5 compound having the structure of Formula I,

- 9 Formula I
- and its pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters,
- enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, metabolites, wherein
- 12 Ar represents an aryl or a heteroaryl ring having 1-2 hetero atoms selected from the group
- consisting of oxygen, sulphur and nitrogen atoms, the aryl or heteroaryl rings may be
- unsubstituted or substituted by one to three substituents independently selected from lower
- alkyl (C<sub>1</sub>-C<sub>4</sub>), lower perhaloalkyl (C<sub>1</sub>-C<sub>4</sub>), cyano, hydroxy, nitro, halogen (e.g. F, Cl, Br,
- 16 I), lower alkoxy (C<sub>1</sub>-C<sub>4</sub>), lower perhalo- alkoxy (C<sub>1</sub>-C<sub>4</sub>), unsubstituted amino, N-lower
- 17 alkylamino  $(C_1-C_4)$  or N-lower alkylamino carbonyl  $(C_1-C_4)$ ;
- 18 R<sub>1</sub> represents a hydrogen, hydroxy, hydroxymethyl, amino, alkoxy, carbamoyl or halogen
- 19 (e.g. fluorine, chlorine, bromine and iodine);
- 20 R<sub>2</sub> represents alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl ring in which any 1-4 hydrogen atoms are
- substituted with halogen (e.g. F, Cl, Br, I), carbamoyl or lower alkyl;
- 22 W represents  $(CH_2)_p$ , where p represents 0 to 1;
- 23 X represents an oxygen, sulphur, NR or no atom wherein R represents
- 24 hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl;
- 25 Y represents CHR<sub>5</sub>CO wherein R<sub>5</sub> represents hydrogen, methyl or (CH<sub>2</sub>)q
- wherein q represents 0 to 4;

- 27 R<sub>3</sub> represents hydrogen, lower alkyl or CO<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>;
- 28 R<sub>6</sub> and R<sub>7</sub> are independently selected from H, lower alkyl, COOH, CONH<sub>2</sub>, NH<sub>2</sub>,
- 29 CH<sub>2</sub>NH<sub>2</sub>; and
- 30 R<sub>4</sub> represents C<sub>1</sub>-C<sub>15</sub> saturated or unsaturated aliphatic hydrocarbon (straight chain or
- branched) in which any 1 to 6 hydrogen atoms may be substituted with the group
- 32 independently selected from halogen, arylalkyl, arylalkenyl, heteroarylalkyl or
- 33 heteroarylalkenyl having 1 to 2 hetero atoms selected from the group consisting of
- 34 nitrogen, oxygen and sulphur atoms with an option that any 1 to 3 hydrogen atoms on an
- aryl or heteroaryl ring in said arylalkyl, arylalkenyl, heteroarylalkenyl group may be
- 36 substituted with lower alkyl (C<sub>1</sub>-C<sub>4</sub>), lower perhalo alkyl (C<sub>1</sub>-C<sub>4</sub>), cyano, hydroxy, nitro,
- lower alkoxycarbonyl, halogen, lower alkoxy  $(C_1-C_4)$ , lower perhaloalkoxy  $(C_1-C_4)$ ,
- unsubstituted amino, N-lower alkylamino (C<sub>1</sub>-C<sub>4</sub>), N-lower alkylamino carbonyl (C<sub>1</sub>-C<sub>4</sub>).
- 1 8. (Original) The method according to claim 7 for treatment or prophylaxis of an
- 2 animal or a human suffering from a disease or disorder of the respiratory, urinary and
- 3 gastrointestinal systems, wherein the disease or disorder is mediated through muscarinic
- 4 receptors, comprising administering to said animal or human, a therapeutically effective
- 5 amount of a compound having the structure of Formula II and its pharmaceutically
- 6 acceptable salts, pharmaceutically acceptable solvates, esters enantiomers, diastereomers,
- N-oxides, polymorphs, prodrugs or metabolites, wherein Ar, R<sub>1</sub>, R<sub>2</sub>, W, X, Y, R<sub>3</sub> and R<sub>4</sub>
- 8 are as defined for Formula I.

12 Formula II

- 1 9. (Original) The method according to claim 7 for treatment or prophylaxis of an
- 2 animal or a human suffering from a disease or disorder of the respiratory, urinary and
- 3 gastrointestinal systems, wherein the disease or disorder is mediated through muscarinic
- 4 receptors, comprising administering to said animal or human, a therapeutically effective
- 5 amount of a compound having the structure of Formula III and its pharmaceutically

- 6 acceptable salts, pharmaceutically acceptable solvates, esters, enantiomers, diastereomers,
- N-oxides, polymorphs, prodrugs or metabolites, wherein Ar, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are as
- 8 defined for Formula I.

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$$Ar \xrightarrow{R_1} C \xrightarrow{N_1} N - R_2$$
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Formula - III

1 10. (Original) The method according to claim 7 for treatment or prophylaxis of an

2 animal or a human suffering from a disease or disorder of the respiratory, urinary and

3 gastrointestinal systems, wherein the disease or disorder is mediated through muscarinic

4 receptors, comprising administering to said animal or human, a therapeutically effective

5 amount of a compound having the structure of Formula-IV and its pharmaceutically

6 acceptable salts, pharmaceutically acceptable solvates, esters, enantiomers, diastereomers,

7 N-oxides, polymorphs, prodrugs or metabolites, wherein R<sub>3</sub> and R<sub>4</sub> are as defined for

8 Formula I, s represents 1 to 2,  $R_9$ =H or F, and  $R_{10}$ =F.

$$\begin{array}{c|c} & & & \\ &$$

- 1 11. (Original) The method according to claim 7 wherein the disease or disorder is
- 2 urinary incontinence, lower urinary tract symptoms (LUTS), bronchial asthma, chronic
- 3 obstructive pulmonary disorders (COPD), pulmonary fibrosis, irritable bowel syndrome,
- 4 obesity, diabetes and gastrointestinal hyperkinesis.
- 1 12. (Original) The method according to claim 8 wherein the disease or disorder is
- 2 urinary incontinence, lower urinary tract symptoms (LUTS), bronchial asthma, chronic
- 3 obstructive pulmonary disorders (COPD), pulmonary fibrosis, irritable bowel syndrome,
- 4 obesity, diabetes and gastrointestina hyperkinesis.

- 1 13. (Original) The method of claim 9 wherein the disease or disorder is urinary
- 2 incontinence, lower urinary tract symptoms (LUTS), bronchial asthma, chronic obstructive
- 3 pulmonary disorders (COPD), pulmonary fibrosis, irritable bowel syndrome, obesity,
- 4 diabetes and gastrointestina hyperkinesis.
- 1 14. (Original) The method of claim 10 wherein the disease or disorder is urinary
- 2 incontinence, lower urinary tract symptoms (LUTS), bronchial asthma, chronic obstructive
- 3 pulmonary disorders (COPD), pulmonary fibrosis, irritable bowel syndrome, obesity,
- 4 diabetes and gastrointestina hyperkinesis.
- 1 15. (Original) The method for treatment or prophylaxis of an animal or a human
- 2 suffering from a disease or disorder of the respiratory, urinary and gastrointestinal
- 3 systems, wherein the disease or disorder is mediated through muscarinic receptors,
- 4 comprising administering to said animal or human, a therapeutically effective amount of
- 5 the pharmaceutical composition according to claim 6.
- 1 16. (Original) The method according to claim 15 wherein the disease of disorder is
- 2 urinary incontinence, lower urinary tract symptoms (LUTS), bronchial asthma, chronic
- 3 obstructive pulmonary disorders (COPD), pulmonary fibrosis, irritable bowel syndrome,
- 4 obesity, diabetes and gastrointestina hyperkinesis.
- 1 17. (Original) A process of preparing compounds of Formula I,

5 Formula I

- 6 and their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters,
- 7 enantiomers, diastereomers, N-oxides, polymorphs, prodrugs or metabolites, wherein
- 8 Ar represents an aryl or a heteroaryl ring having 1-2 hetero atoms selected from the group
- 9 consisting of oxygen, sulphur and nitrogen atoms, the aryl or heteroaryl rings may be
- 10 unsubstituted or substituted by one to three substituents independently selected from lower

11	alkyl (C <sub>1</sub> -C <sub>4</sub> ), lower perhaloalkyl (C <sub>1</sub> -C <sub>4</sub> ), cyano, hydroxy, nitro, halogen (e.g. F, Cl, Br,
12	I), lower alkoxy (C1-C4), lower perhalo- alkoxy (C1-C4), unsubstituted amino, N-lower
13	alkylamino (C <sub>1</sub> -C <sub>4</sub> ) or N-lower alkylamino carbonyl (C <sub>1</sub> -C <sub>4</sub> );
1 /	R <sub>1</sub> represents a hydrogen, hydroxy, hydroxymethyl, amino, alkoxy, carbamoyl or
14	
15	halogen (e.g. fluorine, chlorine, bromine and iodine);
16	R <sub>2</sub> represents alkyl, C <sub>3</sub> -C <sub>7</sub> cycloalkyl ring in which any 1-4 hydrogen atoms are
17	substituted with halogen (e.g. F, Cl, Br, I), carbamoyl or lower alkyl;
18	W represents (CH <sub>2</sub> ) <sub>p</sub> , where p represents 0 to 1;
19	X represents an oxygen, sulphur, NR or no atom wherein R represents
20	hydrogen or C <sub>1</sub> -C <sub>6</sub> alkyl;
21	Y represents CHR <sub>5</sub> CO wherein R <sub>5</sub> represents hydrogen, methyl or (CH <sub>2</sub> )q
22	wherein q represents 0 to 4;
23	R <sub>3</sub> represents hydrogen, lower alkyl or CO <sub>2</sub> C(CH <sub>3</sub> ) <sub>3</sub> ;
24	R <sub>6</sub> and R <sub>7</sub> are independently selected from H, lower alkyl, COOH, CONH <sub>2</sub> , NH <sub>2</sub> ,
25	CH <sub>2</sub> NH <sub>2</sub> ; and
26	R <sub>4</sub> represents C <sub>1</sub> -C <sub>15</sub> saturated or unsaturated aliphatic hydrocarbon (straight chain or
27	branched) in which any 1 to 6 hydrogen atoms may be substituted with the group
28	independently selected from halogen, arylalkyl, arylalkenyl, heteroarylalkyl or
29	heteroarylalkenyl having 1 to 2 hetero atoms selected from the group consisting of
30	nitrogen, oxygen and sulphur atoms with an option that any 1 to 3 hydrogen atoms on an
31	aryl or heteroaryl ring in said arylalkyl, arylalkenyl, heteroarylalkenyl group may be
32	substituted with lower alkyl (C <sub>1</sub> -C <sub>4</sub> ), lower perhalo alkyl (C <sub>1</sub> -C <sub>4</sub> ), cyano, hydroxy, nitro,
33	lower alkoxycarbonyl, halogen, lower alkoxy (C <sub>1</sub> -C <sub>4</sub> ), lower perhaloalkoxy (C <sub>1</sub> -C <sub>4</sub> ),
34	unsubstituted amino, N-lower alkylamino (C <sub>1</sub> -C <sub>4</sub> ), N-lower alkylamino carbonyl (C <sub>1</sub> -C <sub>4</sub> ),
35	comprising
36	(a) condensing a compound of Formula VI with a compound of Formula V

- 10 -

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$$Ar \xrightarrow{R_1} W \xrightarrow{C} OH$$
 $H = X - Y - N \xrightarrow{E} H$ 
 $R_3 = H$ 
 $R_6$ 

Formula VI
Formula V

wherein Ar, R<sub>1</sub>, R<sub>2</sub>, W, X, Y, R<sub>3</sub>, R<sub>6</sub> and R<sub>7</sub> are as defined earlier for
Formula I, to give a protected compound of Formula VII wherein Ar, R<sub>1</sub>,
R<sub>2</sub>, W, X, Y, R<sub>3</sub>, R<sub>6</sub> and R<sub>7</sub> are as defined earlier and P is a protecting
group for an amino group,

$$Ar \xrightarrow{R_1} W - C - X - Y - N \xrightarrow{H} R_7$$

$$R_2 O R_3 \xrightarrow{H} R_6$$

49 Formula VII

(b) deprotecting the compound of Formula VII in the presence of a deprotecting agent to give an unprotected compound of Formula VIII wherein Ar, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, W, X, Y, R<sub>3</sub>, R<sub>6</sub> and R<sub>7</sub> are as defined earlier, and

56 Formula VIII

- 57 (c) N-alkylated or benzylated the compound of Formula VIII with a suitable 58 alkylating or benzylating agent to give compounds of Formula I wherein 59 Ar, R<sub>1</sub>, R<sub>2</sub>, W, X, Y, R<sub>3</sub>, R<sub>4</sub>, R<sub>6</sub> and R<sub>7</sub> are as defined earlier.
- 1 18. 26. (Cancelled).

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1 27. (Original) A process of preparing compounds of Formula IV,

and their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, or metabolites, wherein R<sub>3</sub> represents hydrogen, lower alkyl or CO<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>; R<sub>4</sub> represents C<sub>1</sub>-C<sub>15</sub> saturated or unsaturated aliphatic hydrocarbon (straight chain or branched) in which any 1 to 6 hydrogen atoms may be substituted with the group independently selected from halogen, arylalkyl, arylalkenyl, heteroarylalkyl or heteroarylalkenyl having 1 to 2 hetero atoms selected from the group consisting of nitrogen, oxygen and sulphur atoms with an option that any 1 to 3 hydrogen atoms on an aryl or heteroaryl ring in said arylalkyl, arylalkenyl, heteroarylalkenyl group may be substituted with lower alkyl (C<sub>1</sub>-C<sub>4</sub>), lower perhalo alkyl (C<sub>1</sub>-C<sub>4</sub>), cyano, hydroxy, nitro, lower alkoxycarbonyl, halogen, lower alkoxy (C<sub>1</sub>-C<sub>4</sub>), lower perhaloalkoxy (C<sub>1</sub>-C<sub>4</sub>), unsubstituted amino, N-lower alkylamino (C<sub>1</sub>-C<sub>4</sub>), N-lower alkylamino carbonyl (C<sub>1</sub>-C<sub>4</sub>); s represents 1 to 2, R<sub>9</sub> is H or F and R<sub>10</sub> is F, comprising

(a) condensing a compound of Formula IX with a compound of Formula X

wherein  $R_3$  and  $R_4$  are as defined earlier for Formula I, s represents 1 to 2,  $R_9$  is H or F and  $R_{10}$  is F, to give a protected compound of Formula XI wherein  $R_3$ ,  $R_4$ , s,  $R_9$  and  $R_{10}$  are as defined earlier and P is a protecting group for an amino group,

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24

$$R_9$$
 $R_{10}$ 
 $R_{10}$ 

25 Formula XI

deprotecting the compound of Formula XI in the presence of a deprotecting agent to give an unprotected compound of Formula XII wherein R<sub>3</sub>, R<sub>4</sub>, s, R<sub>9</sub> and R<sub>10</sub> are as defined earlier, and

32 Formula XII

- 33 (c) N-alkylated or benzylated the compound of Formula XII with a suitable
  34 alkylating or benzylating agent to give compounds of Formula IV wherein
  35 R<sub>3</sub>, R<sub>4</sub>, s, R<sub>9</sub> and R<sub>10</sub> are as defined earlier.
- 1 28. 36. (Cancelled).